L35 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
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DOCUMENT NUMBER: 138:238177
TITLE: Preparation of 1H-pyrazole-3-carboxamides as cannabinoid receptor stimulators
INVENTOR(S): Makriyannis, Alexandros; Liu, Qian
PATENT ASSIGNEE(S): University of Connecticut, USA
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| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2003020217 | A2 | 20030313 | WO 2002-US27644 | 20020829 |
| WO 2003020217 | A3 | 20030821 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
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| AU 2002331766 | A1 | 20030318 | AU 2002-331766 | 20020829 |
| EP 1421077 | A2 | 20040526 | EP 2002-768751 | 20020829 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
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| PRIORITY APPLN. INFO.: | | |
|---|---|---|
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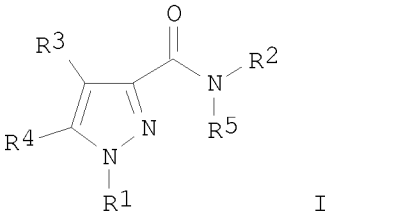
| US 2004-790498 | A2 | 20040301 |

OTHER SOURCE(S): MARPAT 138:238177
GI



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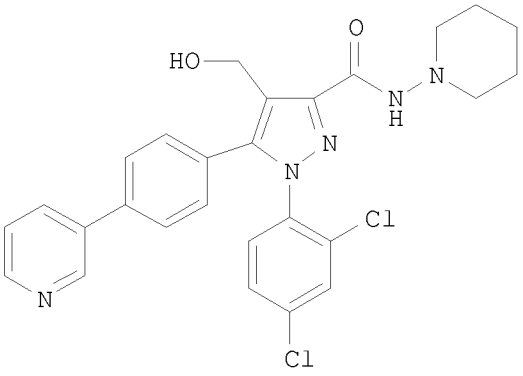


II

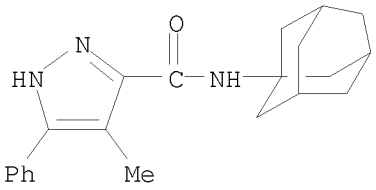
AB Title cannabimimetic pyrazole analogs I [wherein R1 = (CH2)nZ; or R1 = carbocyclic, heterocyclic, or (hetero)aromatic ring fused to a heterocyclic or heteroarom. ring; n = 0-7; Z and R3 = H, halo, N3, NCS, CN, NO2, NX1X2, OX3, OAc, acyloxy, aroyloxy, O(CH2)nOH, O(CH2)nNX1X2, acylamino, aroylamino, CHO, CF3, CO2X3, SO3H, SO2NX1X2, CONX1X2, alkoxy, alkylmercapto, or (di)alkylamino; or Z = (un)substituted carbocyclyl, heterocyclyl, (hetero)aryl, (hetero)bicyclyl, or (hetero)tricyclyl; X1 and X2 = independently H or alkyl; or NX1X2 = heterocyclyl or imide ring; X3 = H, (hydroxy)alkyl, or alkyl-NX1X2; n = 0-6; R2 = (un)substituted carbocyclyl, heterocyclyl, or (hetero)aryl; or R2 = carbocyclic, heterocyclic, or (hetero)aromatic ring fused to a heterocyclic or heteroarom. ring; or R3 = Ph, alkyl, OH, alkylsulfinyl, alkylsulfonyl, heterobicyclyl, (hetero)tricyclyl, CH2Z, etc.; R4 = (CH2)nZ, C6H4-(CH2)nZ, etc.; R5 = H or (un)substituted alkyl; with provisos] were prepared For example, cyclocondensation of the lithium salt of Et 2,4-dioxo-3-methyl-4-(4-bromophenyl)butanoate with 2,4-dichlorophenylhydrazine•HCl gave 1-(2,4-dichlorophenyl)-4-methyl-5-(4-bromophenyl)-1H-pyrazole-3-carboxylic acid Et ester. Bromination with N-bromosuccinimide, conversion to the alc. using AgNO3 in aqueous acetone, amidation with 1-aminopiperidine in the presence of AlCl3, and Suzuki coupling with di-Et (3-pyridyl)borane afforded II. I exhibited CB1 and CB2 cannabinoid receptor binding affinities with Ki values in the range of 1.51-85.1 and 5.81-2312, resp. Some invention compds. showed CB1 selectivity ranging from 2-452, while others showed CB2 selectivity ranging from 1-4. Thus, I are useful for the treatment of central nervous system disorders (no data).

IT 501423-62-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrazolecarboxamides as cannabinoid receptor stimulators for treatment of CNS disorders)

RN 501423-62-7 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 4-methyl-5-phenyl-N-tricyclo[3.3.1.13,7]dec-1-yl- (CA INDEX NAME)

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